

## INFORMATION DISCLOSURE STATEMENT

Applicant : Balzarini et al.  
App. No. : 10/783,053  
Filed : February 19, 2004  
For : IDENTIFICATION OF COMPOUNDS  
THAT INHIBIT REPLICATION OF  
HUMAN IMMUNODEFICIENCY VIRUS  
Examiner : Unassigned  
Group Art Unit : 1645

Commissioner for Patents  
P.O. Box 1450  
Alexandria, VA 22313-1450

Dear Sir:

Enclosed is form PTO-1449 listing one hundred thirty-six (136) references that are also enclosed.

This Information Disclosure Statement is being filed before the receipt of a first Office Action on the merits, and presumably no fee is required in accordance with 37 C.F.R. § 1.97(b)(3). If a first Office Action on the merits was mailed before the mailing date of this Statement, the Commissioner is authorized to charge the fee set forth in 37 C.F.R. § 1.17(p) to Deposit Account No. 11-1410.

Respectfully submitted,

KNOBBE, MARTENS, OLSON & BEAR, LLP

Dated: July 14, 2004

By: [Signature]

Eric S. Eurnan, Ph.D.  
Registration No. 45,664  
Attorney of Record  
Customer No. 20,995  
(619) 235-8550



**PATENT**

Case Docket No. TRIPEP.058A

Date: July 14, 2004

**IN THE UNITED STATES PATENT AND TRADEMARK OFFICE**

Applicant(s) : Balzarini et al.  
Appl. No. : 10/783,053  
Filed : February 19, 2004  
For : IDENTIFICATION OF  
COMPOUNDS THAT INHIBIT  
REPLICATION OF HUMAN  
IMMUNODEFICIENCY VIRUS  
Examiner : Unassigned  
Group Art Unit : 1645

I hereby certify that this correspondence and all marked attachments are being deposited with the United States Postal Service as first class mail in an envelope addressed to: Commissioner for Patents, P.O. Box 1450, Alexandria, VA 22313-1450, on

July 14, 2004

(Date)

Eric S. Furman, Ph.D., Reg. No. 45,664

**TRANSMITTAL LETTER**

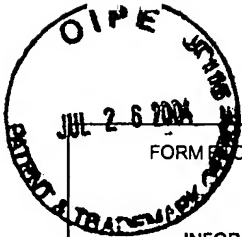
Commissioner for Patents  
P.O. Box 1450  
Alexandria, VA 22313-1450

Dear Sir:

Enclosed for filing in the above-identified application are:

- (X) An Information Disclosure Statement.
- (X) A PTO Form 1449 with one hundred thirty-six (136) references that are also enclosed.
- (X) The Commissioner is hereby authorized to charge any additional fees which may be required, or credit any overpayment, to Account No. 11-1410.
- (X) Return prepaid postcard.

Eric S. Furman, Ph.D.  
Registration No. 45,664  
Attorney of Record  
Customer No. 20,995  
(619) 235-8550



FORM PTO-1449

U.S. DEPARTMENT OF COMMERCE  
PATENT AND TRADEMARK OFFICEATTY. DOCKET NO.  
TRIPEP.058AAPPLICATION NO.  
10/783,053INFORMATION DISCLOSURE STATEMENT  
BY APPLICANT

(USE SEVERAL SHEETS IF NECESSARY)

APPLICANT  
Balzarini et al.FILING DATE  
February 19, 2004GROUP  
1645

## U.S. PATENT DOCUMENTS

| EXAMINER<br>INITIAL |    | DOCUMENT NUMBER | DATE     | NAME                | CLASS | SUBCLASS | FILING DATE<br>(IF APPROPRIATE) |
|---------------------|----|-----------------|----------|---------------------|-------|----------|---------------------------------|
|                     | 1  | 2002/0091086    | 07/11/02 | Vahlne              |       |          |                                 |
|                     | 2  | 2003/0166694    | 09/04/03 | Dorsch et al.       |       |          |                                 |
|                     | 3  | 2003/0232804    | 12/18/03 | Pinto et al.        |       |          |                                 |
|                     | 4  | 1,063,727       | 03/30/67 | Morley              |       |          |                                 |
|                     | 5  | 4,215,112       | 07/29/80 | Goldstein et al.    |       |          |                                 |
|                     | 6  | 4,528,133       | 07/09/85 | Kasafirek et al.    |       |          |                                 |
|                     | 7  | 4,612,337       | 09/16/86 | Fox et al.          |       |          |                                 |
|                     | 8  | 4,658,013       | 04/14/87 | Morgan              |       |          |                                 |
|                     | 9  | 4,818,540       | 04/04/89 | Chinen et al.       |       |          |                                 |
|                     | 10 | 4,857,538       | 08/15/89 | Kashman et al.      |       |          |                                 |
|                     | 11 | 4,950,647       | 08/21/90 | Robins et al.       |       |          |                                 |
|                     | 12 | 5,336,758       | 08/09/94 | Berzofsky et al.    |       |          |                                 |
|                     | 13 | 5,346,989       | 09/13/94 | Vahlne et al.       |       |          |                                 |
|                     | 14 | 5,449,752       | 09/12/95 | Fuji et al.         |       |          |                                 |
|                     | 15 | 5,470,951       | 11/28/95 | Roberts             |       |          |                                 |
|                     | 16 | 5,478,810       | 12/26/95 | Stuber et al.       |       |          |                                 |
|                     | 17 | 5,534,410       | 07/09/96 | Tjian et al.        |       |          |                                 |
|                     | 18 | 5,571,892       | 11/05/96 | Fuji et al.         |       |          |                                 |
|                     | 19 | 5,607,858       | 03/04/97 | Stuber et al.       |       |          |                                 |
|                     | 20 | 5,627,035       | 05/06/97 | Vahlne et al.       |       |          |                                 |
|                     | 21 | 5,710,128       | 01/20/98 | Fuji et al.         |       |          |                                 |
|                     | 22 | 5,744,368       | 04/28/98 | Goldgaber et al.    |       |          |                                 |
|                     | 23 | 5,770,620       | 06/23/98 | Mjalli et al.       |       |          |                                 |
|                     | 24 | 5,776,899       | 07/07/98 | Matsumoto et al.    |       |          |                                 |
|                     | 25 | 5,817,626       | 10/06/98 | Findelis et al.     |       |          |                                 |
|                     | 26 | 5,830,910       | 11/03/98 | Mattson             |       |          |                                 |
|                     | 27 | 5,830,994       | 11/03/98 | D'Hinterland et al. |       |          |                                 |
|                     | 28 | 5,843,904       | 12/01/98 | Bemis et al.        |       |          |                                 |
|                     | 29 | 5,843,995       | 12/01/98 | Rana et al.         |       |          |                                 |

EXAMINER

DATE CONSIDERED

\*EXAMINER: INITIAL IF CITATION CONSIDERED, WHETHER OR NOT CITATION IS IN CONFORMANCE WITH MPEP 609; DRAW LINE THROUGH CITATION IF NOT IN CONFORMANCE AND NOT CONSIDERED, INCLUDE COPY OF THIS FORM WITH NEXT COMMUNICATION TO APPLICANT.

|   |  |                                  |                               |
|---|--|----------------------------------|-------------------------------|
| FORM PTO-1449   | U.S. DEPARTMENT OF COMMERCE<br>PATENT AND TRADEMARK OFFICE | ATTY. DOCKET NO.<br>TRIPEP.058A  | APPLICATION NO.<br>10/783,053 |
| <b>INFORMATION DISCLOSURE STATEMENT<br/>BY APPLICANT</b><br><br>(USE SEVERAL SHEETS IF NECESSARY) |  | APPLICANT<br>Balzarini et al.    |                               |
|   |  | FILING DATE<br>February 19, 2004 | GROUP<br>1645                 |

## U.S. PATENT DOCUMENTS

| EXAMINER<br>INITIAL |    | DOCUMENT NUMBER | DATE     | NAME                 | CLASS | SUBCLASS | FILING DATE<br>(IF APPROPRIATE) |
|---------------------|----|-----------------|----------|----------------------|-------|----------|---------------------------------|
|                     | 30 | 5,846,714       | 12/08/98 | Haskill et al.       |       |          |                                 |
|                     | 31 | 5,854,204       | 12/29/98 | Findeis et al.       |       |          |                                 |
|                     | 32 | 5,856,122       | 01/05/99 | Read et al.          |       |          |                                 |
|                     | 33 | 5,858,979       | 01/12/99 | Kakkar et al.        |       |          |                                 |
|                     | 34 | 5,872,210       | 02/16/99 | Medabalimi           |       |          |                                 |
|                     | 35 | 5,886,025       | 03/23/99 | Pinney               |       |          |                                 |
|                     | 36 | 5,932,550       | 08/03/99 | Kato et al.          |       |          |                                 |
|                     | 37 | 5,990,278       | 11/23/99 | Hoffman et al.       |       |          |                                 |
|                     | 38 | 6,184,210       | 02/06/01 | Keanna et al.        |       |          |                                 |
|                     | 39 | 6,242,416       | 06/05/01 | Gilchrest et al.     |       |          |                                 |
|                     | 40 | 6,258,932       | 07/10/01 | Vahlne               |       |          |                                 |
|                     | 41 | 6,455,670       | 09/24/02 | Van der Spoel et al. |       |          |                                 |

## FOREIGN PATENT DOCUMENTS

| EXAMINER<br>INITIAL |    | DOCUMENT NUMBER | DATE     | COUNTRY | CLASS | SUBCLASS | TRANSLATION |    |
|---------------------|----|-----------------|----------|---------|-------|----------|-------------|----|
|                     |    |                 |          |         |       |          | YES         | NO |
|                     | 42 | H5[1993]-97789  | 04/20/93 | JPO     |       |          |             |    |
|                     | 43 | 2 668 488 A1    | 04/30/92 | France  |       |          |             |    |
|                     | 44 | 0 421 074 A1    | 04/01/91 | Europe  |       |          |             |    |
|                     | 45 | 0 894 855 A2    | 02/03/99 | Europe  |       |          |             |    |
|                     | 46 | 0 900 566 A1    | 03/10/99 | Europe  |       |          |             |    |
|                     | 47 | WO 90/04390     | 05/01/90 | WIPO    |       |          |             |    |
|                     | 48 | WO 92/20795     | 11/01/92 | WIPO    |       |          |             |    |
|                     | 49 | WO 96/27386     | 09/01/96 | WIPO    |       |          |             |    |
|                     | 50 | WO 96/28162     | 09/19/96 | WIPO    |       |          |             |    |
|                     | 51 | WO 96/35714     | 11/01/96 | WIPO    |       |          |             |    |
|                     | 52 | WO 98/09985     | 03/01/98 | WIPO    |       |          |             |    |
|                     | 53 | WO 98/35062     | 08/01/98 | WIPO    |       |          |             |    |

|  |                 |
|--|-----------------|
| EXAMINER   | DATE CONSIDERED |
| *EXAMINER: INITIAL IF CITATION CONSIDERED, WHETHER OR NOT CITATION IS IN CONFORMANCE WITH MPEP 609; DRAW LINE THROUGH CITATION IF NOT IN CONFORMANCE AND NOT CONSIDERED, INCLUDE COPY OF THIS FORM WITH NEXT COMMUNICATION TO APPLICANT. |                 |

|  |                                  |                               |
|--|----------------------------------|-------------------------------|
| FORM PTO-1449<br>U.S. DEPARTMENT OF COMMERCE<br>PATENT AND TRADEMARK OFFICE<br><br><b>INFORMATION DISCLOSURE STATEMENT<br/>BY APPLICANT</b><br><br>(USE SEVERAL SHEETS IF NECESSARY) | ATTY. DOCKET NO.<br>TRIPEP.058A  | APPLICATION NO.<br>10/783,053 |
|  | APPLICANT<br>Balzarini et al.    |                               |
|  | FILING DATE<br>February 19, 2004 | GROUP<br>1645                 |

| FOREIGN PATENT DOCUMENTS |    |                 |          |         |       |          |             |    |
|--------------------------|----|-----------------|----------|---------|-------|----------|-------------|----|
| EXAMINER<br>INITIAL      |    | DOCUMENT NUMBER | DATE     | COUNTRY | CLASS | SUBCLASS | TRANSLATION |    |
|                          |    |                 |          |         |       |          | YES         | NO |
|                          | 54 | WO 99/09056     | 02/25/99 | WIPO    |       |          |             |    |
|                          | 55 | WO 99/09985     | 03/04/99 | WIPO    |       |          |             |    |
|                          | 56 | WO 00/09158     | 02/01/00 | WIPO    |       |          |             |    |
|                          | 57 | WO 01/10456     | 02/15/01 | WIPO    |       |          |             |    |
|                          | 58 | WO 01/10457     | 02/15/01 | WIPO    |       |          |             |    |

| EXAMINER<br>INITIAL | OTHER DOCUMENTS (INCLUDING AUTHOR, TITLE, DATE, PERTINENT PAGES, ETC.) |   |
|---------------------|--|---|
|                     | 59   | Abdel-Meguid et al., "An orally bioavailable HIV-1 protease inhibitor containing an imidazole-derived peptide bond replacement: Crystallographic and pharmacokinetic analysis," <i>Biochemistry</i> , 33(39):11671-11677 (1994).  |
|                     | 60   | Allured et al., "Structure of exotoxin A of pseudomonas aeruginosa at 3.0- angstrom resolution," <i>Proc. Natl. Acad. Sci. USA</i> , 83(5):1320-1324 (1986).  |
|                     | 61   | Armstrong et al., "A phase 1 study of chemically synthesized verotoxin (shiga-like toxin) Pk-trisaccharide receptors attached to chromosorb for preventing hemolytic-uremic syndrome," <i>J. Infectious Diseases</i> , J14 141:1042-1045 (1995).  |
|                     | 62   | Armstrong and Peppler, "Maintenance of biological activity of pertussis toxin radioiodinated while bound to fetuin-agarose," <i>Infection &amp; Immunity</i> , 55(5):1294-1299 (1987).  |
|                     | 63   | Ashkenazi et al., "Safety and antitumor activity of recombinant soluble Apo2 ligand," <i>J. Clin. Invest.</i> , 104(2):155-162 (1999).  |
|                     | 64   | Bai et al., "Characterization of the interaction of cryptophycin 1 with tubulin: binding in the vinca domain, competitive inhibition of dolastatin 10 binding, and an unusual aggregation reaction," <i>Cancer Research</i> , 56:4398-4406 (1996).  |
|                     | 65   | Barger et al., "Tumor necrosis factors $\alpha$ and $\beta$ protect neurons against amyloid $\beta$ -peptide toxicity: Evidence for involvement of a $\kappa B$ -binding factor and attenuation of peroxide and $Ca^{2+}$ accumulation," <i>Proc. Natl. Acad. Sci. USA</i> , 92:9328-9332 (1995). |
|                     | 66   | Bellini et al., <i>Chem. Abs.</i> , abstract 85988h, vol. 96 (1982).  |
|                     | 67   | Boullin et al., <i>J. Physiol.</i> , 234:597-607 (1974).  |
|                     | 68   | Brandhuber et a., "Mapping the enzymatic active site of pseudomonas aeruginosa exotoxin A," <i>Proteins</i> , 3(3):146-154 (1988).  |
|                     | 69   | Choe et al., "The crystal structure of diphtheria toxin," <i>Nature</i> , 357(6375):216-222 (1992).   |
|                     | 70   | Chothia and Janin, "Principles of protein-protein recognition," <i>Nature</i> , 256(5520):705-708 (1975).   |
|                     | 71   | Conner et al., "Selective Proteasome Inhibition Attenuates Experimental Polyarthritis Via Inhibition of Nuclear Transcription Factor $\kappa B$ (NF $\kappa B$ ) Activation," <i>Arthritis and Rheumatism</i> , Abst. Suppl., 40(9) (1997).   |
|                     | 72   | Conner et al., "Proteasome Inhibition Attenuates Nitric Oxide Synthase Expression, VCAM-1 Transcription and the Development of Chronic Colitis," <i>Journal of Pharmacology and Exp. Therapeutics</i> , 282(3):1615-1622 (1997).  |
|                     | 73   | Durso et al., "The antimitotic tripeptide hemiasterlin," <i>Proc. Am. Assoc. Cancer Res. Annual Meeting</i> , Vol. 40, p. 286 (1999).   |

|  |                 |
|--|-----------------|
| EXAMINER   | DATE CONSIDERED |
| *EXAMINER: INITIAL IF CITATION CONSIDERED, WHETHER OR NOT CITATION IS IN CONFORMANCE WITH MPEP 609; DRAW LINE THROUGH CITATION IF NOT IN CONFORMANCE AND NOT CONSIDERED, INCLUDE COPY OF THIS FORM WITH NEXT COMMUNICATION TO APPLICANT. |                 |

|   |  |                                  |                               |
|---|--|----------------------------------|-------------------------------|
| FORM PTO-1449   | U.S. DEPARTMENT OF COMMERCE<br>PATENT AND TRADEMARK OFFICE | ATTY. DOCKET NO.<br>TRIPEP.058A  | APPLICATION NO.<br>10/783,053 |
| <b>INFORMATION DISCLOSURE STATEMENT<br/>BY APPLICANT</b><br><br>(USE SEVERAL SHEETS IF NECESSARY) |  | APPLICANT<br>Balzarini et al.    |                               |
|   |  | FILING DATE<br>February 19, 2004 | GROUP<br>1645                 |

| EXAMINER<br>INITIAL | OTHER DOCUMENTS (INCLUDING AUTHOR, TITLE, DATE, PERTINENT PAGES, ETC.) |  |
|---------------------|--|--|
|                     | 74   | Erickson et al., "Design, activity, and 2.8 Å crystal structure of a C2 symmetric inhibitor complexed to HIV-1 protease," <i>Science</i> , 249(4968):527-533 (1990).   |
|                     | 75   | Fields, ed., Third Edition, <i>Virology</i> , Lippencott-Raven pub., pp. 62, 70, 1513, 1645-46, 1778, 1882-83, 1886-89, 2047, 2113, 2221, and 2717 (1996).   |
|                     | 76   | Finberg et al., "Prevention of HIV-1 Infection and Preservation of CD4 Function by the Binding CPFs to gp120," <i>Science</i> , 249:287-281 (1990).  |
|                     | 77   | Gamble et al., "Structure of the carboxyl-terminal dimerization domain of the HIV-1 capsid protein," <i>Science</i> , 278:849-853 (1997).  |
|                     | 78   | Glenner et al., "Alzheimer's disease: initial report of the purification and characterization of a novel cerebrovascular amyloid protein," <i>Biochem. Biophys. Res. Commun.</i> , 120(3):885-890 (1984).  |
|                     | 79   | Goobar-Larsson et al., "Molecules That Block Viral Infectivity and Methods of Use Thereof," <b>U.S. Patent Application</b> Serial No. 10/235,158, filed September 3, 2002.   |
|                     | 80   | Grannelli-Piperno et al., "Efficient Interaction of HIV-1 with Purified Dendritic Cells via multiple chemokine Coreceptors," <i>J. Exp. Med.</i> , 184:2433-2438 (1996).   |
|                     | 81   | Hall et al., "Substituted 4-hydroxyproline di- and tri-peptides as cytotoxic agents," <i>Amino Acids</i> , 16(1):79-89 (1999).   |
|                     | 82   | Hartrodt et al., <i>Chem. Abs.</i> , abstract 187072j, vol. 99 (1983).   |
|                     | 83   | Head et al., "Preparation of VT1 and VT2 hybrid toxins from their purified dissociated subunits," <i>J. Biol. Chem.</i> , 266(6):3617-3621 (1991).   |
|                     | 84   | Henderson et al., "Gag proteins of the highly replicative MN strain of human immunodeficiency virus type 1: protranslational modifications, proteolytic processing, and complete amino acid sequences," <i>Journal of Virology</i> , 66(4):1856-1865 (1992). |
|                     | 85   | Hewlitt et al., "Induction of a novel morphological response in Chinese hamster ovary cells by pertussis toxin," <i>Infect. Immun.</i> , 40(3):1198-1203 (1983).   |
|                     | 86   | Hilbich et al., "Substitutions of hydrophobic amino acids reduce the amyloidogenicity of alzheimer's disease A4 peptides," <i>J. Mol. Biol.</i> , 228:460-473 (1992).  |
|                     | 87   | Huang et al., "The role of DNA in the mechanism of NFκB dimer formation: crystal structures of the dimerization domains of the p50 and p65 subunits," <i>Structure</i> , 5(11):1427-1436 (1997).   |
|                     | 88   | Hwang et al., "Identification of the envelope V3 loop as the primary determinant of cell tropism in HIV-1," <i>Science</i> , 253:71-74 (1991).   |
|                     | 89   | Ito et al., "Isolation and some properties of A and B subunits of Vero toxin 2 and in vitro formation of hybrid toxins between subunits of Vero toxin 1 and Vero toxin 2 from Escherichia coli 0157:H7," <i>Microb. Pathog.</i> , 5(3):189-195 (1988).       |
|                     | 90   | Jarrett and Lansbury, "Seeding 'One-dimensional crystallization' of amyloid: a pathogenic mechanism in alzheimer's disease and scrapie?" <i>Cell</i> , 73:1055-1058 (1993).  |
|                     | 91   | Kowalski et al., "Functional regions of the envelope glycoprotein of human immunodeficiency virus type 1," <i>Science</i> , 237:1351-1355 (1987).  |
|                     | 92   | LaRosa et al., "Conserved Sequence and Structural Elements in the HIV-1 Principal Neutralizing Determinant," <i>Science</i> , 249: 932-935 (1989).   |
|                     | 93   | Lassila et al., "A Role for Lys-His-Gly-NH <sub>2</sub> in Avian and Murine B Cell Development," <i>Cell. Immun.</i> , 122:319-328 (1989).   |
|                     | 94   | Latimer et al., "The N-terminal domain of IκBα Masks the nuclear localization signal(s) of p50 and c-Rel homodimers," <i>Mol. Cell. Biol.</i> , 18(5):2640 (1998).   |

|  |                 |
|--|-----------------|
| EXAMINER   | DATE CONSIDERED |
| *EXAMINER: INITIAL IF CITATION CONSIDERED, WHETHER OR NOT CITATION IS IN CONFORMANCE WITH MPEP 609; DRAW LINE THROUGH CITATION IF NOT IN CONFORMANCE AND NOT CONSIDERED, INCLUDE COPY OF THIS FORM WITH NEXT COMMUNICATION TO APPLICANT. |                 |

|   |  |                                  |                               |
|---|--|----------------------------------|-------------------------------|
| FORM PTO-1449   | U.S. DEPARTMENT OF COMMERCE<br>PATENT AND TRADEMARK OFFICE | ATTY. DOCKET NO.<br>TRIPEP.058A  | APPLICATION NO.<br>10/783,053 |
| INFORMATION DISCLOSURE STATEMENT<br>BY APPLICANT<br><br>(USE SEVERAL SHEETS IF NECESSARY) |  | APPLICANT<br>Balzarini et al.    |                               |
|   |  | FILING DATE<br>February 19, 2004 | GROUP<br>1645                 |

| EXAMINER<br>INITIAL | OTHER DOCUMENTS (INCLUDING AUTHOR, TITLE, DATE, PERTINENT PAGES, ETC.) |   |
|---------------------|--|---|
|                     | 95   | Levine, "Thioflavine T interaction with synthetic Alzheimer's disease beta-amyloid peptides: detection of amyloid aggregation in solution," <i>Protein Science</i> , 2(3):404-410 (1993).   |
|                     | 96   | Lingwood, "Role of verotoxin receptors in pathogenesis," <i>Trends in Microbiology</i> , 4(4):147-153 (1996).   |
|                     | 97   | Lobet et al., "Site-specific alterations in the B oligomer that affect receptor-binding activities and mitogenicity of pertussis toxin," <i>J. Exp. Med.</i> , 177(1):79-87 (1993).   |
|                     | 98   | Loosmore et al., "Characterization of pertussis toxin analogs containing mutations in B-oligomer subunits," <i>Infect. Immun.</i> , 61(6):2316-2324 (1993).   |
|                     | 99   | Louis et al., "Hydrophilic peptides derived from the transframe region of Ga-Pol inhibit the HIV-1 protease," <i>Biochemistry</i> , 37(8):2105-2110 (1998).   |
|                     | 100  | Maldonado et al., "Experimental chemotherapy with combinations of ergosterol biosynthesis inhibitors in murine models of chagas' disease," <i>Antimicrobial Agents and Chemotherapy</i> , 37(6):1353-1359 (1993).   |
|                     | 101  | Malek et al., "IkB $\alpha$ Functions through direct contacts with the nuclear localization signals and the DNA binding sequences of NF- $\kappa$ B," <i>J. Biol. Chem.</i> , 273(39):25427-25435 (1998).   |
|                     | 102  | Martin, "Fast-acting slow viruses," <i>Nature</i> , 345:572-527 (1990).   |
|                     | 103  | Masters et al., "Amyloid plaque core protein in Alzheimer disease and Down syndrome," <i>Proc. Natl. Acad. Sci. USA</i> , 82(12):4245-4249 (1985).  |
|                     | 104  | Matthies et al., <i>Chem. Abs.</i> , abstract 204450k, vol. 101 (1984).   |
|                     | 105  | Meek et al., "Inhibition of HIV-1 protease in infected T-lymphocytes by synthetic peptide analogues," <i>Nature</i> , 343:90-92 (1990).   |
|                     | 106  | Memar et al., "Antiviral Agents in Dermatology; Current Status and Future Prospects," <i>Int. J. of Derm.</i> , 34(9):597-606 (1995).   |
|                     | 107  | Merritt et al., "Surprising leads for a cholera toxin receptor-binding antagonist: crystallographic studies of CTB mutants," <i>Structure</i> , 3(6):561-570 (1995).  |
|                     | 108  | Miller et al., "Antiviral activity of carbobenzoxy Di- and Tripeptides on measles virus," <i>Applied Microbiology</i> , 16(10):1489-1496 (1968).  |
|                     | 109  | Monks et al., "Feasibility of a high-flux anticancer drug screen using a diverse panel of cultured human tumor cell lines," <i>J. National Cancer Institute</i> , 83(11):757-766 (1991).  |
|                     | 110  | Moore et al., "In vivo depression of lymphocyte traffic in sheep by VIP and HIV (AIDS)-related peptides," <i>Immunopharmacology</i> , 16:181-189 (1988).  |
|                     | 111  | Mukaida et al., "Novel insight into molecular mechanism of endotoxin shock: biochemical analysis of LPS receptor signaling in a cell-free system targeting NF- $\kappa$ B and regulation of cytokine production/action through $\beta_2$ integrin in vivo," <i>J. of Leukocyte Biology</i> , 59(2): 145-151 (1996). |
|                     | 112  | Nicolaides et al., <i>J. Med. Chem.</i> , 11:74-79 (1968).  |
|                     | 113  | Niedrig et al., "Inhibition of infectious human immunodeficiency virus type 1 particle formation by Gag protein derived peptides," <i>J. of Gen. Vir.</i> , 75:1469-1474 (1994).  |
|                     | 114  | Owells et al., "Inhibition of tubulin-microtubule polymerization by drugs of the Vinca alkaloid class," <i>Cancer Res.</i> , 36(4):1499 (1976).   |
|                     | 115  | Palker et al., "Type-specific neutralization of the human immunodeficiency virus with antibodies to env-encoded synthetic peptides," <i>Proc. Natl. Acad. Sci. USA</i> , 85(6):1932-1936 (1988).  |
|                     | 116  | Prusiner, "Some speculations about prions, amyloid, and Alzheimer's disease," <i>N. Engl. J. Med.</i> , 310(10):661-663 (1984).   |

|   |                 |
|---|-----------------|
| EXAMINER  | DATE CONSIDERED |
| <p>*EXAMINER: INITIAL IF CITATION CONSIDERED, WHETHER OR NOT CITATION IS IN CONFORMANCE WITH MPEP 609; DRAW LINE THROUGH CITATION IF NOT IN CONFORMANCE AND NOT CONSIDERED, INCLUDE COPY OF THIS FORM WITH NEXT COMMUNICATION TO APPLICANT.</p> |                 |

|   |  |                                  |                               |
|---|--|----------------------------------|-------------------------------|
| FORM PTO-1449   | U.S. DEPARTMENT OF COMMERCE<br>PATENT AND TRADEMARK OFFICE | ATTY. DOCKET NO.<br>TRIPEP.058A  | APPLICATION NO.<br>10/783,053 |
| <b>INFORMATION DISCLOSURE STATEMENT<br/>BY APPLICANT</b><br><br>(USE SEVERAL SHEETS IF NECESSARY) |  | APPLICANT<br>Balzarini et al.    |                               |
|   |  | FILING DATE<br>February 19, 2004 | GROUP<br>1645                 |

| EXAMINER<br>INITIAL | OTHER DOCUMENTS (INCLUDING AUTHOR, TITLE, DATE, PERTINENT PAGES, ETC.) |   |
|---------------------|--|---|
|                     | 117  | Prusiner, "Molecular biology of prion diseases," <i>Science</i> , 252(5012):1515-1522 (1991).   |
|                     | 118  | Rao et al., "3'-(p-azidobenzamido)taxol photolabels the N-terminal 31 amino acids of beta-tubulin," <i>J. Biol. Chem.</i> , 269(5):3132-3134 (1994).  |
|                     | 119  | Richards, "Inhibition of the aspartic proteinase from HIV-2," <i>FEBS Letters</i> , 253(1,2):214-216 (1989).  |
|                     | 120  | Rydon and Smith, <i>Chem. Abs.</i> , Abstract 46056c, vol. 51 (1957).   |
|                     | 121  | Sawada et al., "Identification of the fragment photoaffinity-labeled with azidodansyl-rhizoxin as Met-363-Lys0379 on beta-tubulin," <i>Biochem. Pharmacol.</i> , 45(7):1387-1394 (1993).  |
|                     | 122  | Sheha et al., "Synthesis of di- and tripeptide analogues containing $\alpha$ -ketoamide as a new core structure for inhibition of HIV-1 protease," <i>Eur. J. Med. Chem.</i> , 35(10):887-894 (2000).                                 |
|                     | 123  | SIGMA, <b>Peptide and Amino Acid Catalog</b> , p. 27 and p. 70, Copyright 1995-96.  |
|                     | 124  | Sixma et al., "Comparison of the B-pentamers of heat-labile enterotoxin and verotoxin-1: two structures with remarkable similarity and dissimilarity," <i>Biochemistry</i> , 32(1):191-198 (1993).                                    |
|                     | 125  | Sixma et al., "Refined structure of Escherichia coli heat-labile enterotoxin, a close relative of cholera toxin," <i>J. Mol. Biol.</i> , 230(3):890-918 (1993).   |
|                     | 126  | Sheiman et al., <i>Chem. Abs.</i> , abstract 43238a, vol. 102 (1985).   |
|                     | 127  | Smith et al., "Blocking HIV-1 Infectivity by a Soluble, Secreted Form of the CD4 Antigen," <i>Science</i> , 238:1704-1707 (1987).   |
|                     | 128  | Srivastava et al., "HIV-1 Gag shares a signature motif with annexin (Anx7), which is required for virus replication," <i>Proc. Natl. Acad. Sci. USA</i> , 96:2704-2709 (1999).  |
|                     | 129  | Stein et al., "Crystal structure of the cell-binding B oligomer of verotoxin-1 from E. coli," <i>Nature</i> , 355:748-750 (1992).   |
|                     | 130  | Su, Jin, "Effect of the Tri-peptide Glycyl-Prolyl-Glycine Amide on HIV-1 Replication," Karolinska Institutet, Department of Microbiology, Pathology and Immunology, Division of Clinical Virology, Huddinge University, Sweden, 2000. |
|                     | 131  | Su et al., "The nontoxic tripeptide glycyl-prolyl-glycine amide inhibits the replication of human immunodeficiency virus type 1," <i>J. of Human Virol.</i> , 4(1):1-7 (2001).  |
|                     | 132  | Su et al., "The tripeptide glycyl-prolyl-glycine amide does not affect the early steps of the human immunodeficiency virus type 1 replication," <i>J. of Human Virol.</i> , 4(1):8-15 (2001).   |
|                     | 133  | Vahlne, "Protein Polymerization Inhibitors and Methods of Use Thereof," <b>U.S. Patent Application</b> Serial No. 10/072,783, filed February 8, 2002.   |
|                     | 134  | Van der Spoel et al., "Tripeptide Amides that Block Viral Infectivity and Methods of Use Thereof," <b>U.S. Patent Application</b> Serial No. 09/938,806 filed August 24, 2001.  |
|                     | 135  | Van der Spoel et al., "Pentamer Peptide Amid, ALGPGNH <sub>2</sub> , Which Inhibits Viral Infectivity and Methods of Use Thereof," <b>U.S. Patent Application</b> Serial No. 10/217,933, filed August 12, 2002.                       |
|                     | 136  | Weber, "Blocks on the viral exit," <i>Nature</i> , 345:573-574 (1990).  |

S:\DOCS\ESF\ESF-7941.DOC  
062404

|  |                 |
|--|-----------------|
| EXAMINER   | DATE CONSIDERED |
| *EXAMINER: INITIAL IF CITATION CONSIDERED, WHETHER OR NOT CITATION IS IN CONFORMANCE WITH MPEP 609; DRAW LINE THROUGH CITATION IF NOT IN CONFORMANCE AND NOT CONSIDERED, INCLUDE COPY OF THIS FORM WITH NEXT COMMUNICATION TO APPLICANT. |                 |